

Structure attributes must be viewed using STN Express query preparation.

=> s 116 sss sam

SAMPLE SEARCH INITIATED 16:45:43 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 754 TO ITERATE

100.0% PROCESSED 754 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 13433 TO 1672

PROJECTED ITERATIONS: 13433 TO 16727 PROJECTED ANSWERS: 0 TO 0

L17 0 SEA SSS SAM L16

=> s l16 sss full

FULL SEARCH INITIATED 16:45:48 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 15265 TO ITERATE

100.0% PROCESSED 15265 ITERATIONS 30 ANSWERS

SEARCH TIME: 00.00.02

L18 30 SEA SSS FUL L16

=> file caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL

FULL ESTIMATED COST ENTRY SESSION 191.54 976.73

SINCE FILE TOTAL

CA SUBSCRIBER PRICE

ENTRY SESSION 0.00 -1.70

FILE 'CAPLUS' ENTERED AT 16:45:59 ON 02 APR 2010

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FILE COVERS 1907 - 2 Apr 2010 VOL 152 ISS 15

FILE LAST UPDATED: 1 Apr 2010 (20100401/ED)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2009

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the first quarter of 2010.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 118

L19 13 L18

=> d ibib abs hitstr 1-

YOU HAVE REQUESTED DATA FROM 13 ANSWERS - CONTINUE? Y/(N):y

L19 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:1502110 CAPLUS <<LOGINID::20100402>>

DOCUMENT NUMBER: 152:97713

TITLE: An Oxidation and Ring Contraction Approach to the

Synthesis of $(\pm)-1$ -Deoxynojirimycin and

(±)-1-Deoxyaltronojirimycin

AUTHOR(S): Bagal, Sharan K.; Davies, Stephen G.; Lee, James A.;

Roberts, Paul M.; Russell, Angela J.; Scott, Philip

M.; Thomson, James E.

CORPORATE SOURCE: Department of Chemistry, Chemistry Research

Laboratory, University of Oxford, Oxford, OX1 3TA, UK

SOURCE: Organic Letters (2009), 12(1), 136-139

CODEN: ORLEF7; ISSN: 1523-7060

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

AB A reaction sequence involving the chemoselective olefinic oxidation of N(1)-benzyl-2,7-dihydro-1H-azepine with m-CPBA in the presence of HBF4 and BnOH followed by ring contraction facilitates the stereoselective preparation of either of the epoxide diastereoisomers of

(2RS,3SR)-N(1)-benzyl-2-chloromethyl-3-benzyloxy-4,5-epoxypiperidine by simple modification of the reaction conditions. Epoxide ring opening, functional group interconversion, and deprotection allow the synthesis of (\pm) -1-deoxynojirimycin and (\pm) -1-deoxyaltronojirimycin.

IT 1202170-20-4P 1202170-24-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(oxidation and ring contraction approach to synthesis of $(\pm)-1$ -deoxynojirimycin and $(\pm)-1$ -deoxyaltronojirimycin)

RN 1202170-20-4 CAPLUS

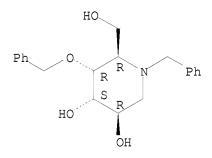
CN 3,4-Piperidinediol, 6-[(acetyloxy)methyl]-5-(phenylmethoxy)-1-(phenylmethyl)-, (3R,4S,5R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 1202170-24-8 CAPLUS

CN 3,4-Piperidinediol, 6-(hydroxymethyl)-5-(phenylmethoxy)-1-(phenylmethyl)-, (3R,4S,5R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS)

REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:507065 CAPLUS <<LOGINID::20100402>>

DOCUMENT NUMBER: 151:57064

TITLE: Facile Aza-Claisen Rearrangement of Glycals:

Application in the Synthesis of 1-Deoxy-L-imino-sugars

AUTHOR(S): Gupta, Preeti; Vankar, Yashwant D.

CORPORATE SOURCE: Department of Chemistry, Indian Institute of

Technology, Kanpur, 208016, India

SOURCE: European Journal of Organic Chemistry (2009), (12),

1925-1933, S1925/1-S1925/38 CODEN: EJOCFK; ISSN: 1434-193X PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 151:57064

AB 2-C-Methylene-N-glycosyl amides have been obtained from

2-(hydroxymethyl)glycals through a facile aza-Claisen rearrangement. This

rearrangement has also been utilized in the synthesis of

L-allo-deoxynojirimycin, a moderate inhibitor of human lysosomal α -mannosidase (IC50 = 64 μ M), and two new C-5-(hydroxymethyl)

analogs of L-altro-deoxynojirimycin and L-ido-deoxynojirimycin. (.COPYRGT.

Wiley-VCH Verlag GmbH & Co. KGaA, 69451 Weinheim, Germany, 2009).

IT 1161011-53-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(facile aza-Claisen rearrangement of glycals in synthesis of 1-deoxy-L-imino-sugars as enzyme inhibitors)

RN 1161011-53-5 CAPLUS

CN 3-Piperidinemethanol, 3-hydroxy-4,5-bis(phenylmethoxy)-6-

[(phenylmethoxy)methyl]-1-(phenylmethyl)-, (3R, 4S, 5S, 6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:1383655 CAPLUS <<LOGINID::20100402>>

DOCUMENT NUMBER: 149:575982

TITLE: Reductive aminations of carbonyl compounds with

borohydride and borane reducing agents

AUTHOR(S): Baxter, Ellen W.; Reitz, Allen B.

CORPORATE SOURCE: The R. W. Johnson Pharmaceutical Research Institute,

Spring House, PA, USA

SOURCE: Organic Reactions (Hoboken, NJ, United States) (2002),

59, No pp. given CODEN: ORHNBA

URL: http://www3.interscience.wiley.com/cgi-

bin/mrwhome/107610747/HOME John Wiley & Sons, Inc.

DOCUMENT TYPE: Journal; General Review; (online computer file)

LANGUAGE: English

OTHER SOURCE(S): CASREACT 149:575982

AB A review of the article Reductive aminations of carbonyl compds. with borohydride and borane reducing agents.

IT 188779-10-4P

PUBLISHER:

RL: SPN (Synthetic preparation); PREP (Preparation)

(Reductive Aminations of Carbonyl Compds. with Borohydride and Borane Reducing Agents)

RN 188779-10-4 CAPLUS

CN 3,4-Piperidinediol, 1-(diphenylmethyl)-5-(phenylmethoxy)-2-[(phenylmethoxy)methyl]-, (2S,3S,4S,5S)- (CA INDEX NAME)

Absolute stereochemistry.

L19 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:908712 CAPLUS <<LOGINID::20100402>>

DOCUMENT NUMBER: 145:489467

TITLE: Access to Piperidine Imino-C-glycosides via

Stereoselective Thiazole-Based Aminohomologation of

Pyranoses

AUTHOR(S): Dondoni, Alessandro; Nuzzi, Andrea

CORPORATE SOURCE: Dipartimento di Chimica, Laboratorio di Chimica

Organica, Universita di Ferrara, Ferrara, 44100, Italy Journal of Organic Chemistry (2006), 71(20), 7574-7582

SOURCE: Journal of Organic Chemistry (2006), 71(20), 7574-7582

CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 145:489467

The access to piperidine homoazasugars (dideoxyiminoheptitols) from pyranoses via formal one-carbon chain elongation and exchange of the ring oxygen with the NH group is described. The key process involves the stereoselective addition of 2-thiazolylmagnesium bromide to an N-glycosylhydroxylamine, i.e., a hidden open-chain sugar nitrone. The N-thiazolylalkylhydroxylamine formed in this way is reduced to amine, and this transformed into a substituted piperidine via intramol. cyclization by an SN2 process. Cleavage of the thiazole residue attached to C2 of the piperidine ring reveals the formyl group, and this is reduced to hydroxymethyl to give the target homoazasugar. A collection of six stereodiversified compds. With free OH and NH groups and isolated as hydrochlorides has been prepared

IT 914080-58-3P 914080-59-4P 914080-62-9P

914080-63-0P 914081-90-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of piperidine imino-C-glycosides via stereoselective addition

2-thiazolylmagnesium bromide to an N-glycosylhydroxylamine as a key step)

RN 914080-58-3 CAPLUS

of

CN Piperidine, 3,4,5-tris(phenylmethoxy)-2-[(phenylmethoxy)methyl]-1-(phenylmethyl)-6-(2-thiazolyl)-, (2S,3S,4S,5S,6S)- (CA INDEX NAME)

RN 914080-59-4 CAPLUS

CN Piperidine, 3,4,5-tris(phenylmethoxy)-2-[(phenylmethoxy)methyl]-1-(phenylmethyl)-6-(2-thiazolyl)-, (2S,3S,4S,5S,6R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 914080-62-9 CAPLUS

CN 2-Piperidinemethanol, 3,4,5-tris(phenylmethoxy)-6-[(phenylmethoxy)methyl]-1-(phenylmethyl)-, (2R,3S,4R,5S,6S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 914080-63-0 CAPLUS

CN 2-Piperidinemethanol, 3,4,5-tris(phenylmethoxy)-6-[(phenylmethoxy)methyl]-1-(phenylmethyl)-, (2S,3S,4R,5S,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 914081-90-6 CAPLUS

CN 2-Piperidinemethanol, 3,4,5-tris(phenylmethoxy)-6-[(phenylmethoxy)methyl]-1-(phenylmethyl)-, (2R,3R,4R,5R,6S)- (CA INDEX NAME)

Absolute stereochemistry.

OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD

(8 CITINGS)

REFERENCE COUNT: 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:466314 CAPLUS <<LOGINID::20100402>>

DOCUMENT NUMBER: 143:153676

TITLE: Cross-Metathesis of C-Allyl Iminosugars with Alkenyl

Oxazolidines as a Key Step in the Synthesis of C-Iminoglycosyl $\alpha\text{-Amino Acids.}$ A Route to

Iminosugar Containing C-Glycopeptides

AUTHOR(S): Dondoni, Alessandro; Giovannini, Pier Paolo; Perrone,

Daniela

CORPORATE SOURCE: Dipartimento di Chimica, Laboratorio di Chimica

Organica, Universita di Ferrara, Ferrara, 44100, Italy

SOURCE: Journal of Organic Chemistry (2005), 70(14), 5508-5518

CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 143:153676

GΙ

A general access to a novel class of sugar $\alpha\text{-amino}$ acids I and II (n AB = 0,1), composed of iminofuranose and iminopyranose residues anomerically linked to the glycinyl group through an alkyl chain, is described. A set of eight compds. was prepared by the same reaction sequence involving as an initial step the Grubbs Ru-carbene-catalyzed cross-metathesis (CM) of various N-Cbz-protected allyl C-iminoglycosides with N-Boc-4-vinyl- and N-Boc-4-ally1-2,2-dimethyloxazolidines. The isolated yields of the CM products (mixts. of E- and Z-alkenes) varied in the range 40-70%. Each mixture was elaborated by first reducing the carbon-carbon double bond using in situ generated diimide and then unveiling the N-Boc glycinyl group [CH(BocNH)CO2H] by oxidative cleavage of the oxazolidine ring by the Jones reagent. All amino acids were characterized as their Me esters. insertion of a model C-iminoglycosyl-2-aminopentanoic acid into a tripeptide via sequential carboxylic and amino group coupling with L-phenylalanine derivs. was carried out to synthesize glycopeptide III. 860264-31-9 IΤ

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of C-iminoglycosyl amino acids and their glycopeptide derivs.
via cross-metathesis of C-allyl iminosugars with alkenyl oxazolidines
as a key step)

RN 860264-31-9 CAPLUS

CN Piperidine, 3,4,5-tris(phenylmethoxy)-2-[(phenylmethoxy)methyl]-1-(phenylmethyl)-6-(2-propen-1-yl)-, (2S,3S,4R,5S,6S)- (CA INDEX NAME)

OS.CITING REF COUNT: 22 THERE ARE 22 CAPLUS RECORDS THAT CITE THIS

RECORD (23 CITINGS)

REFERENCE COUNT: 78 THERE ARE 78 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:1127336 CAPLUS <<LOGINID::20100402>>

DOCUMENT NUMBER: 142:56619
TITLE: Preparation of

2-hydroxymethyl-3,4,5-trihydroxy-1-(4-pentyloxybenzyl)-

piperidine as glucosylceramide synthase inhibitor Orchard, Michael Glen; Scopes, David Ian Carter

INVENTOR(S): Orchard, Michael Glen; Scopes, Davi PATENT ASSIGNEE(S): Oxford Glycosciences UK Ltd, UK

SOURCE: PCT Int. Appl., 16 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PAT	TENT 1	NO.			KIND DATE					APPL				DATE						
	WO 2004111001					A1 20041223				 WO 2		GB24	20040609								
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	ΒA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,			
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			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,			
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,			
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			SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,			
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	BR 2004011293						A 20060829				BR 2004-11293						20040609				
	JP 2006527252						T 20061130				JP 2006-516390						20040609				
	US 20070259918							A1 20071108				007-		20070329							
PRIO	PRIORITY APPLN. INFO.:										GB 2	-600	1367	7	i	A 2	0030	613			
											WO 2	004-	GB24	50	1	W 2	0040	609			

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 142:56619

Ι

AB Title piperidine imino sugar I , or a pharmaceutically acceptable salt or prodrug thereof, was prepared via condensation of (2S,3S,4R,5S)-2-(hydroxymethyl)-3,4,5-piperidinetriol with $4-(\text{pentyloxy})\,\text{benzaldehyde}$ and $(\text{polystyrylmethyl})\,\text{trimethylammonium}$ cyanoborohydride and used as an inhibitor of glucosylceramide synthase from human mammary epithelial cells (IC50 = 4.8 $\mu\text{M})$. The compound of the present invention can also be used in the treatment of cancer in which glycolipid synthesis is abnormal such as brain tumors, neuroblastoma, malignant melanoma, renal adenocarcinoma and multidrug resistant cancers in general (no data). Also claimed, the use title compound in the manufacture of

a medicament for use in the treatment of Alzheimer's disease, epilepsy, stroke, Parkinson's disease or spinal injury, rheumatoid arthritis, Crohn's disease, asthma or sepsis (no data).

IT 811419-33-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-hydroxymethyl-3,4,5-trihydroxy-1-(4-pentyloxybenzyl)-piperidine as glucosylceramide synthase inhibitor)

RN 811419-33-7 CAPLUS

CN 3,4,5-Piperidinetriol, 2-(hydroxymethyl)-1-[[4-(pentyloxy)phenyl]methyl]-, (2S,3S,4R,5S)- (CA INDEX NAME)

Absolute stereochemistry.

HO
$$_{\rm HO}$$
 $_{\rm S}$ $_{\rm R}$ $_{\rm S}$ $_{\rm OH}$ $_{\rm OH}$ $_{\rm OH}$ $_{\rm OH}$

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:60472 CAPLUS <<LOGINID::20100402>>

DOCUMENT NUMBER: 140:94233

TITLE: Preparation of aza-sugar piperidinetriol derivatives

as antiviral and antitumor agents and inhibitors of

glycosylceramide synthase

INVENTOR(S): Ali, Mezher Hussein; Orchard, Michael Glen

PATENT ASSIGNEE(S): Oxford Glycosciences (UK) Ltd., UK

SOURCE: PCT Int. Appl., 31 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA:	TENT :	NO.			KIND DATE				APPL	ICAT		DATE						
WO	2004	A1 200401			0122		 WO 2	003-	GB32	20030717								
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JP	2005	5365	06		T		2005	1202		JP 2	004 -	5209	13	20030717				
US	US 20060111400						2006	0525		US 2	005-	5222	07	20051027				
RIORIT	ORITY APPLN. INFO.:									GB 2	002-	1665	6	1	A 2	0020	717	
										GB 2003-1480					A 20030122			
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										WO 2	003-	GB32	44	1	W 2	0030	717	
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 140:94233
GI

Aza-sugar piperidinetriol derivs. I; wherein R is substituted alkylphenyl, AR alkylpyridiyl, were prepared as inhibitors of glucosylceramide synthase. Thus, II was prepared and tested in vitro as antiviral agent and inhibitor of glycosylceramide synthase (IC50 range = 0.1 to > 100.0 μM). 644960-51-0P ΙT 644960-50-9P 644960-52-1P 644960-53-2P 644960-54-3P 644960-55-4P 644960-56-5P 644960-57-6P 644960-58-7P 644960-59-8P RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of azasugar piperidinetriol derivs. as antiviral and antitumor agents and inhibitors of glycosylceramide synthase) RN 644960-50-9 CAPLUS Benzamide, N-[(4-fluorophenyl)methyl]-4-[[(2S,3S,4R,5S)-3,4,5-trihydroxy-2-K,5]]CN (hydroxymethyl)-1-piperidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 644960-51-0 CAPLUS
CN 3,4,5-Piperidinetriol, 2-(hydroxymethyl)-1-[[4-(phenylmethoxy)phenyl]methyl]-, (2S,3S,4R,5S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 644960-52-1 CAPLUS
CN Benzamide, N-[(1S)-1-phenylethyl]-4-[[(2S,3S,4R,5S)-3,4,5-trihydroxy-2-(hydroxymethyl)-1-piperidinyl]methyl]- (CA INDEX NAME)

RN 644960-53-2 CAPLUS

CN Benzonitrile, 2-[bis(1-methylethyl)amino]-5-[[(2S,3S,4R,5S)-3,4,5-trihydroxy-2-(hydroxymethyl)-1-piperidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 644960-54-3 CAPLUS

CN Benzamide, N-[(1S)-1-(4-fluorophenyl)ethyl]-4-[[(2S,3S,4R,5S)-3,4,5-trihydroxy-2-(hydroxymethyl)-1-piperidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 644960-55-4 CAPLUS

CN Benzamide, N-[(1R)-1-phenylethy1]-4-[[(2S,3S,4R,5S)-3,4,5-trihydroxy-2-(hydroxymethy1)-1-piperidiny1]methy1]- (CA INDEX NAME)

RN 644960-56-5 CAPLUS

CN Benzamide, N-[(1R)-1-(4-fluorophenyl)ethyl]-4-[[(2S,3S,4R,5S)-3,4,5-trihydroxy-2-(hydroxymethyl)-1-piperidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 644960-57-6 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 2-phenyl-6-[[(2S,3S,4R,5S)-3,4,5-trihydroxy-2-(hydroxymethyl)-1-piperidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 644960-58-7 CAPLUS

CN 3,4,5-Piperidinetriol, 1-[[4-[(4-chlorophenyl)methoxy]phenyl]methyl]-2-(hydroxymethyl)-, (2S,3S,4R,5S)- (CA INDEX NAME)

RN 644960-59-8 CAPLUS

CN 3,4,5-Piperidinetriol, 1-[[4-[(4-fluorophenyl)methoxy]phenyl]methyl]-2-(hydroxymethyl)-, (2S,3S,4R,5S)- (CA INDEX NAME)

Absolute stereochemistry.

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD

(2 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:421770 CAPLUS <<LOGINID::20100402>>

DOCUMENT NUMBER: 139:230929

TITLE: A convenient synthesis of iminosugar-C-glycosides via

organometallic addition to

N-benzyl-N-glycosylhydroxylamines

AUTHOR(S): Dondoni, Alessandro; Perrone, Daniela

CORPORATE SOURCE: Dipartimento di Chimica, Laboratorio di Chimica

Organica, Universita di Ferrara, Ferrara, 44100, Italy

SOURCE: Tetrahedron (2003), 59(24), 4261-4273

CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:230929

AB N-Benzyl-N-glycosylhydroxylamines were prepared in very good yield via condensation of furanoses and pyranoses with N-benzylhydroxylamine at 110°C for 30 min under solvent-free conditions. These anomeric sugar-hydroxylamines exist in equilibrium with the open-chain nitrone form. In fact upon treatment with various organometallic reagents, the corresponding adducts were obtained with good to high diastereoselectivity. These adducts were converted into

iminosugar-C-glycosides by reductive dehydroxylation and intramol. cyclization.

IT 595560-12-6P 595560-13-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (stereoselective synthesis of iminosugar-C-glycosides via organometallic addition to N-benzyl-N-glycosylhydroxylamines followed by intramol. cyclization)

RN 595560-12-6 CAPLUS

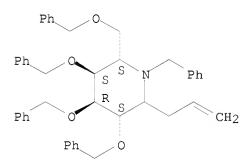
CN Piperidine, 2-ethynyl-3,4,5-tris(phenylmethoxy)-6-[(phenylmethoxy)methyl]-1-(phenylmethyl)-, (2S,3S,4R,5S,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 595560-13-7 CAPLUS

CN Piperidine, 3,4,5-tris(phenylmethoxy)-2-[(phenylmethoxy)methyl]-1-(phenylmethyl)-6-(2-propen-1-yl)-, (2S,3S,4R,5S)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 26 THERE ARE 26 CAPLUS RECORDS THAT CITE THIS

RECORD (26 CITINGS)

REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:539660 CAPLUS <<LOGINID::20100402>>

DOCUMENT NUMBER: 137:93950

TITLE: Preparation of pharmaceutically active aza-sugar

piperidine derivatives as inhibitors of galactosidase

and glucosylceramide synthase

INVENTOR(S):
Butters, Terence D.; Dwek, Raymond A.; Fleet, George;

Orchard, Michael Glen; Platt, Frances Mary

PATENT ASSIGNEE(S): Oxford Glycosciences (UK) Ltd., UK; The Chancellor,

Masters and Scholars of the University of Oxford

SOURCE: PCT Int. Appl., 38 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PA	PATENT NO.						KIND DATE			APP	LICAT	DATE								
					A1 20020718															
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB	, BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,			
											, EE,									
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											, MW,									
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK	, SL,	TJ,	TM,	TN,	TR,	TT,	TZ,			
							YU,					,		·	·					
	RW:	GH.	GM,	KE.	LS,	MW.	MZ,	SD,	SL,	SZ	, TZ,	UG,	ZM,	ZW,	AT,	BE,	CH,			
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CA	2433																			
AU	2002	2193	63		A1 20020718 A1 20020724					AU	2002-		20020111 20020111							
AU	2002	2002219363				B2 20071101														
	1362031				A1 20031119				EP 2002-729458						20020111					
EP	1362031				B1 20080319															
										GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,			
		TF	C T	T.T	T.37	FТ	RO,													
BR	2002	0064	33		A		2003	1230		BR	2002-	6433			2	0020	111			
HU	IU 2003003891				A2 20040329			HU 2003-3891						20020111						
HU	2003	2003003891				A3 20100128														
CN	CN 1496351				Α		2004	0512		CN	2002-	8062	26		2	20020	111			
CN	1267	420			С		2006													
JP	BR 2002006433 HU 2003003891 HU 2003003891 CN 1496351 CN 1267420 JP 2004517869 JP 4313572				T 20040617					JP	2002-		20020111							
JP	JP 4313572				В2	2009	0812													
RU	RU 2279425						2006	0710			2003-					20020	111			
AT	AT 389635					T 20080415														
PT	PT 1362031					E 20080612				PT 2002-729458						20020111				
ES	3 2304439				T3 20081016				ES 2002-729458						20020111					
z_{A}	ZA 2003005118				A 200410 A 200412			1001	ZA 2003-5118 MX 2003-6185 US 2003-618165 KR 2003-709309						20030701					
MX	MX 2003006185						2004	1203		MX	2003-	6185			2	0030	710			
US	US 20040097551						2004	0520		US	2003-	6181	65		2	0030	711			
KR	RU 2279425 AT 389635 PT 1362031 ES 2304439 ZA 2003005118 MX 2003006185 US 20040097551 KR 879651						2009	0120		KR	2003-	7093	09		2	0030	711			
US	US 20060074107						2006	0406		US	2005 -	1961	53		2	0050	803			
PRIORIT	Y APP	LN.	INFO	.:						GB	2001-	889			A 2	0010	112			
										WO	2002-	GB10	6		W 2	0020	111			
										US	2003-	6181	65		A3 2	0030	711			
OTHER S	HER SOURCE(S):						MARPAT 137:93950													

OTHER SOURCE(S): MARPAT 137:93950 GI

AB Aza-sugar piperidine derivs. I wherein R is C1-16 alkyl, C3-7 cycloalkyl, and optionally interrupted by -O- the oxygen being separated from the ring nitrogen by at least two carbon atoms, or C1-10 alkylaryl where aryl is Ph, pyridyl, thienyl or furyl wherein Ph is optionally substituted by one or more substituents selected from F, C1, Br, CF3, OCF3, OR1, and C1-6 straight or branched-chain alkyl; and R1 is hydrogen, or C1-6 straight or branched-chain alkyl; represents various substituent groups, were prepared and are useful as inhibitors of galactosidase and glucosylceramide synthase. Thus, (2S,3R,4R,5S)-1-pentyl-2-(hydroxymethyl)-3,4,5-piperidinetriol was prepared and tested as inhibitor of human glucosylceramide synthase (IC50 = 4.0 $\mu \rm M$).

IT 441061-93-4

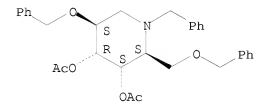
RL: NUU (Other use, unclassified); USES (Uses) (preparation of pharmaceutically active aza-sugar piperidine derivs. as

inhibitors of galactosidase and glucosylceramide synthase)

RN 441061-93-4 CAPLUS

CN 3,4-Piperidinediol, 5-(phenylmethoxy)-2-[(phenylmethoxy)methyl]-1-(phenylmethyl)-, 3,4-diacetate, (2S,3S,4R,5S)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS

RECORD (13 CITINGS)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2000:498609 CAPLUS <<LOGINID::20100402>>

DOCUMENT NUMBER: 133:252629

TITLE: A norbornyl route to azasugars: a new synthesis of

deoxynojirimycin analogues

AUTHOR(S): Mehta, G.; Mohal, N.

CORPORATE SOURCE: Department of Organic Chemistry, Indian Institute of

Science, Bangalore, 560 012, India

SOURCE: Tetrahedron Letters (2000), 41(30), 5741-5745

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 133:252629

AB A new synthesis of deoxynojirimycin (DNJ) analogs (galacto- and altroconfiguration) has been achieved through a functionalized cyclopentene derivative crafted from the norbornyl system, employing double reductive amination as the key step. The new DNJ analogs have been evaluated against various glycosidases and found to be moderate to strong inhibitors.

IT 295348-66-2P

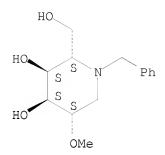
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and glycosidase inhibitory activity of deoxynojirimycin analogs via reductive amination)

RN 295348-66-2 CAPLUS

CN 3,4-Piperidinediol, 2-(hydroxymethyl)-5-methoxy-1-(phenylmethyl)-, hydrochloride (1:1), (2S,3S,4S,5S)- (CA INDEX NAME)

Absolute stereochemistry.



HC1

OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS

RECORD (12 CITINGS)

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1997:166648 CAPLUS <<LOGINID::20100402>>

DOCUMENT NUMBER: 126:264276

ORIGINAL REFERENCE NO.: 126:51189a, 51192a

TITLE: Rare and complex saccharides from D-galactose and

other milk derived carbohydrates. 7. Double reductive

amination of L-arabino-hexos-5-uloses: a

diastereoselective approach to 1-deoxy-D-galactostatin

derivatives

AUTHOR(S): Barili, Pier Luigi; Berti, Giancarlo; Catelani,

Giorgio; D'Andrea, Felicia; De Rensis, Francesco;

Puccioni, Leonardo

CORPORATE SOURCE: Dip. Chim. Bioorg., Univ. Pisa, Pisa, I-56126, Italy

SOURCE: Tetrahedron (1997), 53(9), 3407-3416

CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 126:264276

AB The double reductive amination of L-arabino-hexos-5-ulose with benzhydrylamine and NaBH3CN takes place in a diastereospecific manner giving in moderate chemical yield (36%) the galactosidase inhibitor 1-deoxy-D-galactostatin. The amino cyclization. of 2,6-di-O-benzyl-L-arabino-hexos-5-ulose is more complicated, giving results dependent on the type of amine: with ammonia or methylamine a mixture of C-5 epimeric 1-deoxyazapyranoses (D-galacto/L-altro ratio ≈ 4:1) is obtained in 45-65% combined yield, while with benzhydrylamine substantial amts. of an acyclic

1-deoxy-1-benzydrylamino-hexitol (10 % yield) is isolated together with the expected 1-deoxy-azasugars of the D-galacto and L-altro series.

IT 188779-12-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(diastereoselective approach to deoxygalactostatin derivs.)

RN 188779-12-6 CAPLUS

CN 3,4-Piperidinediol, 1-(diphenylmethyl)-5-(phenylmethoxy)-2-

[(phenylmethoxy)methy1]-, 3,4-diacetate, (2S,3S,4R,5S)- (CA INDEX NAME)

Absolute stereochemistry.

IT 188779-10-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(diastereoselective approach to deoxygalactostatin derivs.)

RN 188779-10-4 CAPLUS

CN 3,4-Piperidinediol, 1-(diphenylmethyl)-5-(phenylmethoxy)-2-[(phenylmethoxy)methyl]-, (2S,3S,4S,5S)- (CA INDEX NAME)

Absolute stereochemistry.

OS.CITING REF COUNT: 22 THERE ARE 22 CAPLUS RECORDS THAT CITE THIS

RECORD (22 CITINGS)

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1996:182526 CAPLUS <<LOGINID::20100402>>

DOCUMENT NUMBER: 124:343893

ORIGINAL REFERENCE NO.: 124:63891a,63894a

TITLE: An efficient synthetic approach to aza-C-glycosyl

compounds. Application to the synthesis of an

aza-C-disaccharide

AUTHOR(S): Martin, Olivier R.; Liu, Li; Yang, Feng

CORPORATE SOURCE: Dep. Chemistry, State Univ. New York, Binghamton, NY,

13902-6016, USA

SOURCE: Tetrahedron Letters (1996), 37(12), 1991-4

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

OTHER SOURCE(S): CASREACT 124:343893

GΙ

AB The NIS-mediated intramol. cyclocondensation of aminoheptenitols, e.g. I, (prepared in three steps from tetra-O-benzyl-D-hexopyranoses) provided 1,2,6-trideoxy-2,6-imino-l-iodohepitols, e.g. II, highly stereoselectively and in high yield. The " α -D-gluco" epimer II was used in the synthesis of a precursor of an aza-C-disaccharide III and its reaction with tri-Et phosphite was investigated.

IT 176706-84-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of deoxyiminoiodohepitols as synthons of aza-C-disaccharides)

RN 176706-84-6 CAPLUS

CN Piperidine, 2-(iodomethyl)-3,4,5-tris(phenylmethoxy)-6- [(phenylmethoxy)methyl]-1-(phenylmethyl)-, [2S-(2α , 3α , 4β , 5β , 6α)]- (9CI) (CA INDEX NAME)

RECORD (57 CITINGS)

L19 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1979:138117 CAPLUS <<LOGINID::20100402>>

DOCUMENT NUMBER: 90:138117

ORIGINAL REFERENCE NO.: 90:21917a,21920a

TITLE: Synthetic study of amino sugars from pyridines. V.

Synthesis of 5-amino-5-deoxypiperidinoses from the singlet oxygen adduct of 1-acyl-1,2-dihydropyridines Natsume, Mitsutaka; Wada, Moritaka; Oqawa, Masashi

AUTHOR(S): Natsume, Mitsutaka; Wada, Moritaka; Og CORPORATE SOURCE: Itsuu Lab., Res. Found., Tokyo, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1978), 26(11),

OURCE: Chemical & Pharmaceutical Bulle 3364-72

CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal LANGUAGE: English

GΙ

AB Sensitized photooxidn. of 5-cyano-1,2-dihydropyridine derivative I afforded a crystalline and reactive endo-peroxide (II) and S derivs. III (R = Ph, R1 = H, Ac; R = CH2Ph, R1 = H). O derivs. IV (R1 = Me, R2 = H, Ac; R1 = CD3, R2 = Ac) and V were produced in good yield from II. IV (R1 = Me, R2 = Ac) was a good intermediate for production of 4-substituted compds.,

V

 $1\hbox{--}0\hbox{--methy}1\hbox{--}5\hbox{--benzamido--}5\hbox{--deoxyallopiperidinose and}$

1--0--methyl--5--benzamido--5--deoxyaltropiperidinose. Formation of IV and II was a multi-step reaction.

IT 69538-38-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with diethoxypropane)

RN 69538-38-1 CAPLUS

CN Methanone, phenyl[(2R,3R,4R,5S,6S)-3,4,5-trihydroxy-2-(hydroxymethyl)-6-methoxy-1-piperidinyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

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